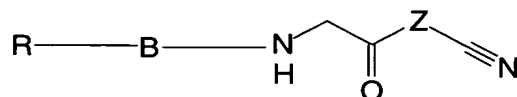


Amendments to the Specification:

Please replace the paragraph at page 2, line 5, to page 3, line 6, with the following rewritten paragraph:

We have found that the compounds of the general formula (I)



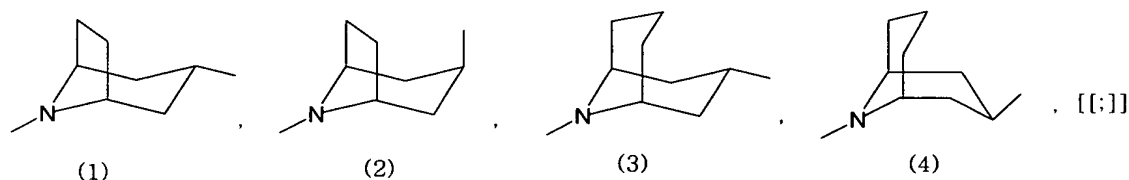
(I)

wherein R stands for:

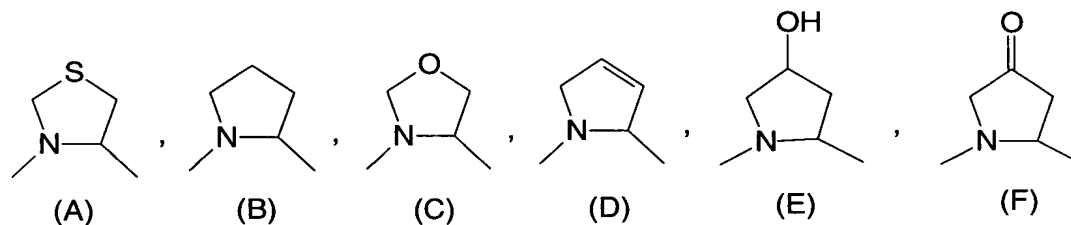
- a nitrogen-containing one- or two-ring aromatic moiety, preferably pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, imidazolyl, pirazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, quinoliny, isoquinoliny, cinnoliny, phthalazinyl, quinazolinyl, quinoxaliny, benzimidazolyl, indazolyl, benzothiazolyl, benzisothiazolyl, benzoxazolyl or benzisoxazolyl moiety which are optionally mono- or disubstituted independently by one or two of the following groups: C1-4 alkyl groups, C1-4 alkoxy groups, halogen atom, trihalogenomethyl group, methylthio group, nitro group, cyano group, C2-5 alkoxy carbonyl groups or carboxamido group, or
- p-tolylsulfonyl group; or
- R_{1a}-CH₂-group, where the meaning of R_{1a} is hydrogen, C1-4 alkyl group, phenyl, benzyl, phenylethyl, phenylethenyl, naphthyl, pyridyl, quinolyl, isoquinolyl, cinnoliny, phthalazinyl, quinazolinyl, quinoxaliny, thienyl, furyl or p-toluenesulfonyl moieties substituted independently by one or more C1-4 alkyl group, C1-4 alkoxy group, alkylendioxy group, halogen atom, trihalogenomethyl, nitro or cyano group, or

- R_{1b} -CO-group, where the meaning of R_{1b} is C1-4 alkyl group, phenyl, benzyl, phenylethyl, phenylethenyl, naphthyl, pyridyl, quinolyl, isoquinolyl, cinnolyl, phthalaziny, quinazoliny or quinoxaliny moieties substituted independently by one or more C1-4 alkyl groups, C1-4 alkoxy groups, alkylendioxy group, halogen atom, trihalogenomethyl, nitro or cyano group; mono- or disubstituted amino group, saturated *N*-containing heterocyclic moiety, preferably a group containing pyrrolidino, piperidino, piperazino or morpholino ring;

B stands for a group according to the formula (1) or (2) or (3) or (4)



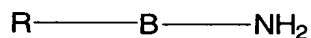
Z stands for a groups of formula (A) or (B) or (C) or (D) or (E) or (F);



and the salts, isomers, tautomers, hydrates or solvates of the above compounds possess remarkable advantages in their activity, stability and toxicity.

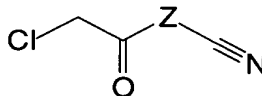
Please replace the paragraph which begins at page 4, line 18, with the following rewritten paragraph:

The compounds of the general formula (I) according to our invention – wherein the meanings of R and B and Z are as defined above - can be prepared by alkylation of the cyclic primary amines of the general formula (II)



(II)

with the chloroacetylcarbonitrile derivatives of the general formula (III)

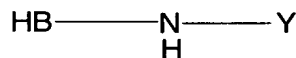


(III)

– wherein the meaning of B and Z are as defined above – and, if desired, the resulting compounds are transformed into their salts or solvates (Scheme 1).

Please replace the paragraph which begins at page 5, line 7, with the following rewritten paragraph:

The primary amines of the general formula (II) are prepared in a two-step synthesis (Scheme 2). In the first step the starting protected cyclic secondary amine - the compound of the general formula (IV)

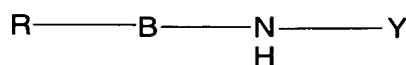


(IV)

, wherein Y stands *tert*-butoxycarbonyl group - is arylated with a compound of the general formula (X), wherein X is a halogeno atom in the R-X compounds, preferably chloro or bromo atom. Depending on the meaning of R, arylation can be performed in a polar, protic or aprotic solvent, preferably in an alcohol (ethanol, *n*-butanol, *n*-pentanol), at a temperature between 78 and 136 °C, or without solvent, in microwave oven, using excess amine or DBU as acid binding agent.

Please replace the paragraph at page 5, line 23, to page 6, line 3, with the following rewritten paragraph:

In the second step the protecting Y group is removed by acidic hydrolysis from the arylated amine of the general formula (V)

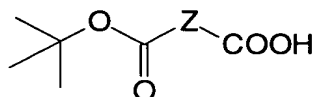


(V)

– wherein the meanings of R and Y are as defined above. The reaction is carried out in aqueous hydrochloric acid or in ethanolic hydrogen chloride solution, at a temperature between 25 and 78 °C, to produce the cyclic primary amines of the general formula (II) – wherein the meaning of R is the same as defined above.

Please replace the paragraph which begins at page 6, line 17, with the following rewritten paragraph:

The starting compounds are the N-containing pentacyclic carboxylic acids with the nitrogen protected with *tert*-butoxycarbonyl group - compounds of the general formula (VI)

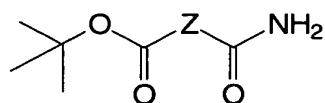


(VI)

- wherein the meaning of Z is as defined above. These compounds can be prepared by methods written in the literature (Z = (A): J. Kitcin et al. *J. Med. Chem.* **1994**, 37, 3707; Z = (C): S. Conti et al. *Tetrahedron* **1994**, 50, 13493; Z = (D): S.C. Mayer et al. *J. Org. Chem.* **1994**, 59, 5192)) or commercially available (Z = (E): *Aldrich*).

Please replace the paragraph at page 6, line 23, to page 7, line 2, with the following rewritten paragraph:

In the first step a mixed anhydride is prepared with pivaloyl chloride or chloroformic acid ethyl ester, then the carbamoyl derivatives of the general formula (VII)

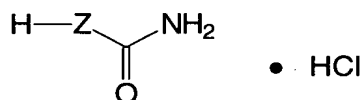


(VII)

- wherein the meaning of Z is the same as defined above - are formed with aqueous ammonia. The reaction is preferably carried out in a halogenated solvent (chloroform, dichloromethane) under -5°C in 2-4 hour reactions.

Please replace the paragraph beginning at page 7, line 4 with the following rewritten paragraph:

In the second step the *tert*-butoxycarbonyl group is cleaved by ethanolic hydrogen chloride solution. Hydrolysis takes place at $0 - 25^{\circ}\text{C}$ in 3-5 hours and the hydrochlorides of the carboxamides of the general formula (VIII)

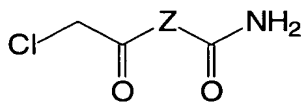


(VIII)

- wherein the meaning of Z is the same as defined above - are obtained.

Please replace the paragraph which begins at page 7, line 13, with the following rewritten paragraph:

In the fourth step the chloroacetylcarbonyl derivatives of the general formula (IX)

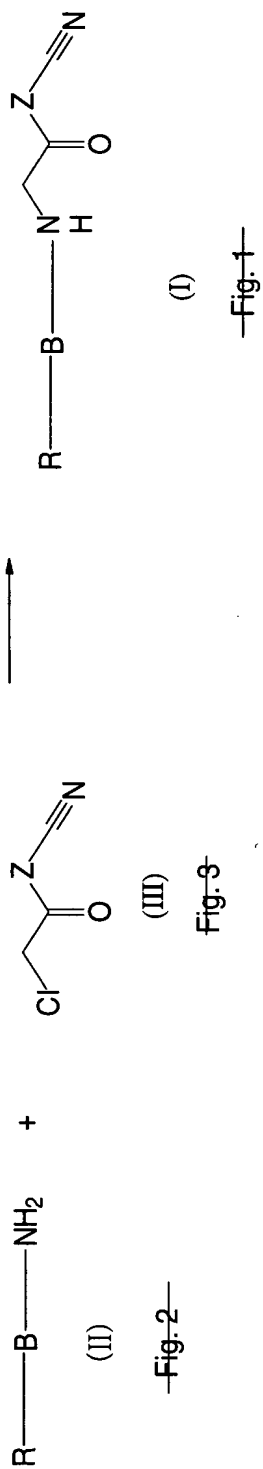


(IX)

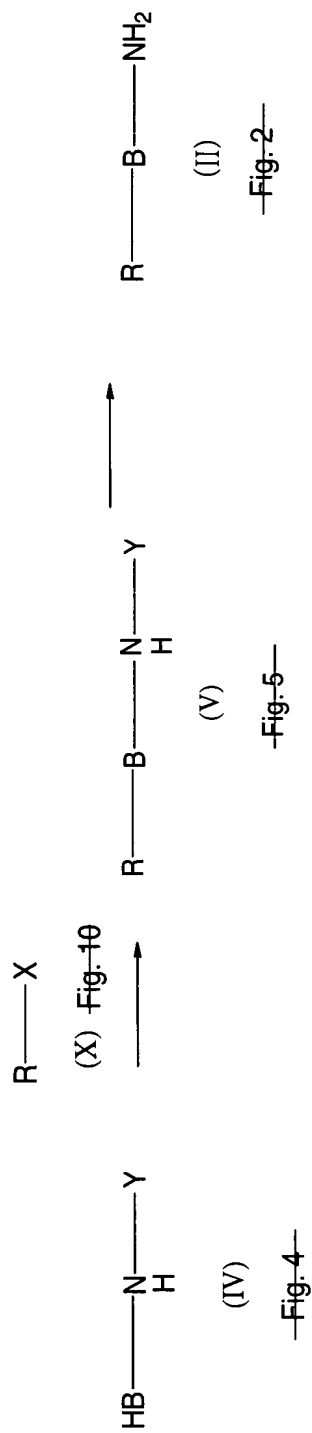
- wherein the meaning of Z is as defined above - are dehydrated to yield the chloroacetylcarbonitrile derivatives of the general formula (III). Dehydration is preferably

carried out with oxalyl chloride in DMF at a temperature below 0 °C or with phosphorous oxychloride in dichloromethane at the boiling point.

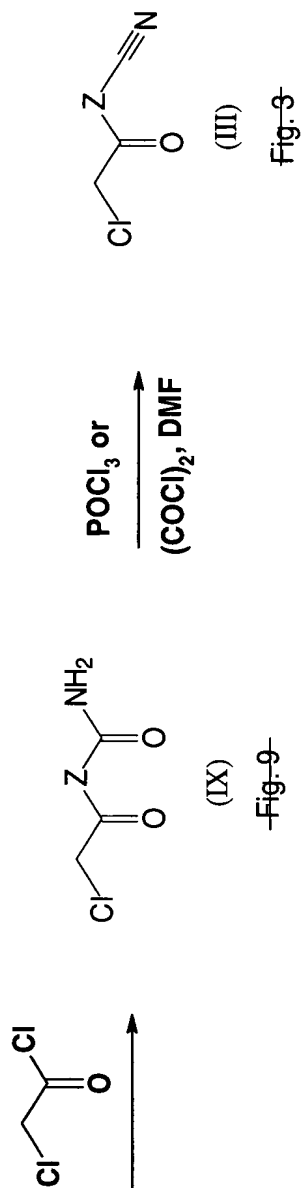
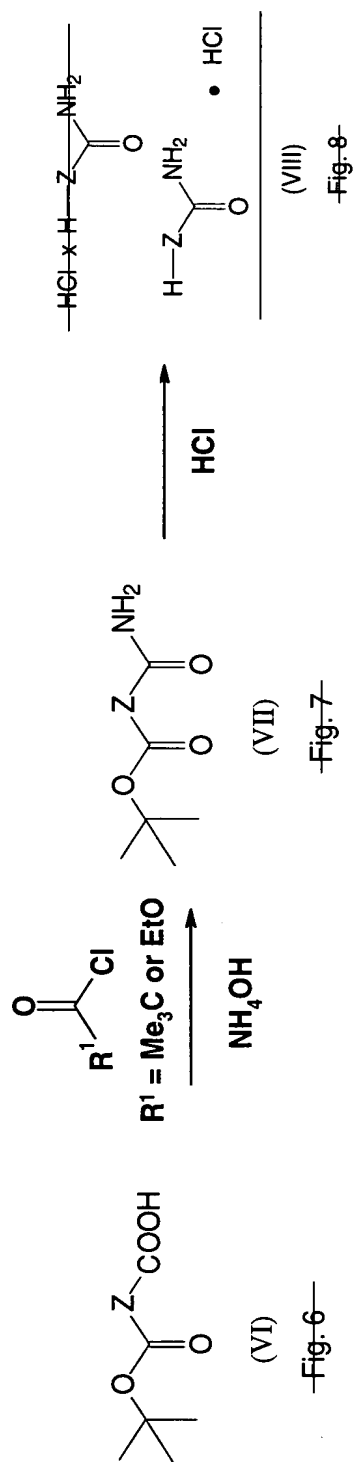
Please replace Schemes 1, 2, and 3, at pages 8 and 9, with the following rewritten schemes.



Scheme 1



Scheme 2



Scheme 3

Please delete the descriptions of the figures at pages 12 and 13 and Figures 1-20 at pages 45-48.

After the claims, please insert the enclosed abstract page.